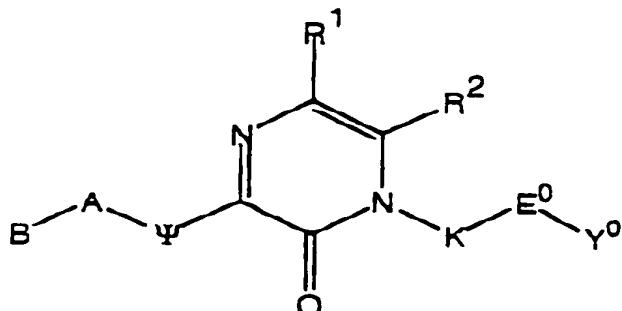


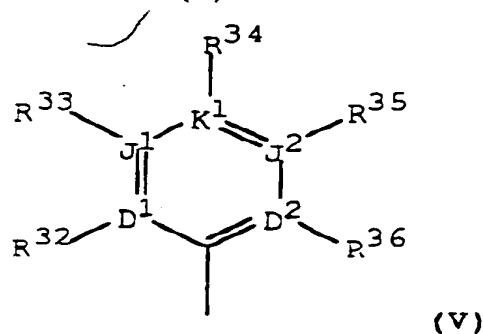
What we claim is:

1. A compound having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

5 B is formula (V):



(V)

wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O,

10 no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and K^1 must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S, and no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N;

R^9 , R^{10} , R^{11} , R^{12} , R^{13} , R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, alkoxyalkyl, haloalkoxyalkyl, hydroxy, amino, alkoxyamino, nitro, lower alkylamino, alkylthio, alkylthioalkyl, alkylsulfinyl,

alkylsulfonyl, alkylsulfonylalkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, alkylaminosulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboxyalkyl, carboalkoxy, carboxy, carboxamido, carboxamidoalkyl, and cyano;

5 R^{16} , R^{19} , R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

10 B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylene, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is optionally selected from the group consisting of C3-C12 cycloalkyl and C4-C9 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen atom adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the

20 R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the

25 R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the

R^{12} position is optionally substituted with R^{33} , and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} .

A is selected from the group consisting of single covalent bond.

5 $(W^7)_{\pi}-(CH(R^{15}))_{pa}$ and $(CH(R^{15}))_{pa}-(W^7)_{\pi}$ wherein π is an integer selected from 0 through 1, pa is an integer selected from 0 through 6, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$ with the proviso that no more than one of the group consisting of π and pa is 0 at the same time;

10 R^7 is selected from the group consisting of hydrido, hydroxy, and alkyl;

15 R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

Ψ is selected from the group consisting of NH and NOH;

20 R^1 is selected from the group consisting of hydrido, alkyl, alkenyl, cyano, halo, haloalkyl, haloalkoxy, haloalkylthio, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

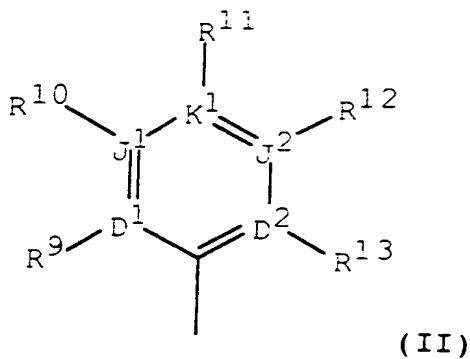
R^2 is Z^0-Q ;

25 Z^0 is selected from the group consisting of covalent single bond, $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 3, $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, C(O), S(O), $N(R^{41})$, and $ON(R^{41})$, and $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$ wherein e and h are integers independently selected from 0 through 1 and W^{22} is selected from

the group consisting of $\text{CR}^{41}=\text{CR}^{42}$, 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the proviso that Z^0 is directly bonded to the pyrazinone ring:

R^{41} and R^{42} are independently selected from the group consisting of amidino, hydroxyamino, hydrido, hydroxy, amino, and alkyl;

Q is selected from the group consisting of hydrido, with the proviso that Z^0 is other than a covalent single bond, and the formula (II):



wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and K^1 must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S, and no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N, with the proviso that R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are each independently selected to maintain the

tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

K is $(CR^{4a}R^{4b})_n$ wherein n is an integer selected from 1 through 2;

R^{4a} and R^{4b} are independently selected from the group consisting of

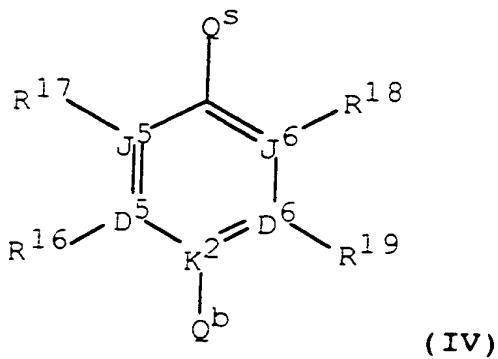
5 halo, hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

E^0 is E^1 , when K is $(CR^{4a}R^{4b})_n$, wherein E^1 is selected from the

group consisting of a covalent single bond, C(O), C(S), C(O)N(R^7),

$(R^7)NC(O)$, $S(O)_2$, $(R^7)NS(O)_2$, and $S(O)_2N(R^7)$;

Y^0 is formula (IV):



10

wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6

15 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, nitro, alkoxyamino, lower alkylamino, alkylthio, 20 alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo,

haloalkyl, haloalkoxy, hydroxyalkyl, alkylamino, haloalkoxyalkyl, carboalkoxy, and cyano;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, aminoalkylenyl.

Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and

5 $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of R^{23} and R^{24} is hydroxy, amino, alkylamino, or dialkylamino at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the

10 group consisting of hydrido, alkyl, hydroxy, aminoalkylenyl, amino, dialkylamino, alkylamino, and hydroxyalkyl;

Q^s is selected from the group consisting of a single covalent bond,

$(CR^{37}R^{38})_b$ wherein b is an integer selected from 1 through 4, and

$(CH(R^{14}))_cW^1-(CH(R^{15}))_d$ wherein c and d are integers independently

15 selected from 1 through 3 and W^1 is selected from the group consisting of $C(O)N(R^{14})$, $(R^{14})NC(O)$, $S(O)$, $S(O)_2$, $S(O)_2N(R^{14})$, $N(R^{14})S(O)_2$, and $N(R^{14})$, with the proviso that R^{14} is selected from other than halo when directly bonded to N and that $(CR^{37}R^{38})_b$, and $(CH(R^{14}))_c$ are bonded to E^0 ;

20 R^{14} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^{37} and R^{38} are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

R^{38} is optionally selected from the group consisting of aroyl and heteroaroyl;

Y^0 is optionally Q^b-Q^{ss} wherein Q^{ss} is $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$.

wherein e and h are integers independently selected from 1 through 2 and W^2

is $CR^{4a}=CR^{4b}$ with the proviso that $(CH(R^{14}))_e$ is bonded to E^0 :

Y^0 is optionally selected from the group consisting of Q^b-Q^{ssss} and Q^b-

5 Q^{ssssr} wherein Q^{ssss} is $(CH(R^{38}))_r-W^5$ and Q^{ssssr} is $(CH(R^{38}))_r-W^6$. r is an integer selected from 1 through 2, and W^5 and W^6 are independently selected

from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-

10 benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-benzothiophenyl, 2,5-benzothiophenyl, 2,6-benzothiophenyl, 2,7-benzothiophenyl, 3,4-benzothiophenyl, 3,5-benzothiophenyl, 3,6-benzothiophenyl, 3,7-benzothiophenyl, 2,7-imidazo(1,2-a)pyridinyl, 3,4-imidazo(1,2-a)pyridinyl, 3,5-imidazo(1,2-

15 a)pyridinyl, 3,6-imidazo(1,2-a)pyridinyl, 3,7-imidazo(1,2-a)pyridinyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-indazolyl, 2,4-benzoxazolyl, 2,5-

20 benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl, 2,4-quinolinyl, 2,5-

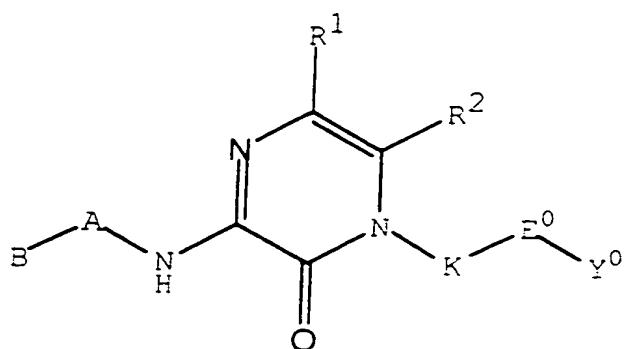
25 quinolinyl, 2,6-quinolinyl, 2,7-quinolinyl, 2,8-quinolinyl, 3,4-quinolinyl, 3,5-quinolinyl, 3,6-quinolinyl, 3,7-quinolinyl, 3,8-quinolinyl, 4,5-quinolinyl, 4,6-quinolinyl, 4,7-quinolinyl, 4,8-quinolinyl, 1,4-isoquinolinyl, 1,5-

isoquinolinyl, 1,6-isoquinolinyl, 1,7-isoquinolinyl, 1,8-isoquinolinyl, 3,4-isoquinolinyl, 3,5-isoquinolinyl, 3,6-isoquinolinyl, 3,7-isoquinolinyl, 3,8-

30 isoquinolinyl, 4,5-isoquinolinyl, 4,6-isoquinolinyl, 4,7-isoquinolinyl, 4,8-isoquinolinyl, 3,4-cinnolinyl, 3,5-cinnolinyl, 3,6-cinnolinyl, 3,7-cinnolinyl, 3,8-cinnolinyl, 4,5-cinnolinyl, 4,6-cinnolinyl, 4,7-cinnolinyl, and 4,8-cinnolinyl, and each carbon and hyrido containing nitrogen member of the ring

of the W^5 and of the ring of the W^6 , other than the points of attachment of W^5 and W^6 , is optionally substituted with one or more of the group consisting of R^9 , R^{10} , R^{11} , and R^{12} , with the provisos that Q^b is bonded to lowest number substituent position of each W^5 , Q^b is bonded to highest number substituent position of each W^6 , and $(CH(R^{38}))_r$ is bonded to E^0 .

2. The compound as recited in Claim 1 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

10 B is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is substituted by R^{34} ;

15 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyleneedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino,

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyleneedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino,

alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino,

5 carboalkoxy, carboxy, carboxamido, cyano, and Q^b;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of

10 attachment of B to A with one or more of the group consisting of R³², R³³, R³⁴, R³⁵, and R³⁶;

B is optionally selected from the group consisting of C3-C12 cycloalkyl and C4-C9 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R³³, a ring carbon other than the ring carbon at the

15 point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R⁹ or R¹³, a ring carbon or nitrogen atom adjacent to the R⁹ position and two atoms from the point of attachment is

20 optionally substituted with R¹⁰, a ring carbon or nitrogen atom adjacent to the R¹³ position and two atoms from the point of attachment is optionally substituted with R¹², a ring carbon or nitrogen atom three atoms from the point of attachment and adjacent to the R¹⁰ position is optionally substituted with R¹¹, a ring carbon or nitrogen atom three atoms from the point of attachment

25 and adjacent to the R¹² position is optionally substituted with R³³, and a ring carbon or nitrogen atom four atoms from the point of attachment and adjacent to the R¹¹ and R³³ positions is optionally substituted with R³⁴;

$R^9, R^{10}, R^{11}, R^{12}$, and R^{13} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl,

5 alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano; A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{\pi}$ wherein π is an integer selected from 0 through 1, pa is

10 an integer selected from 0 through 3, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$; R^7 is selected from the group consisting of hydrido, hydroxy and alkyl; R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

15 R^1 is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio; R^2 is Z^0-Q ;

20 Z^0 is selected from the group consisting of covalent single bond and $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2, $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, and $N(R^{41})$, and $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$ wherein e and h are integers independently selected from 0 through 1 and W^{22} is selected from the group consisting of

25 $CR^{41}=CR^{42}$, 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-

cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the proviso that Z^0 is directly bonded to the pyrazinone ring;

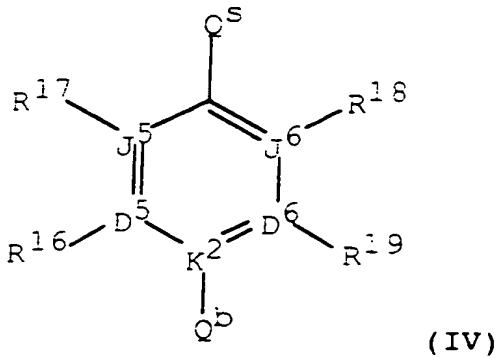
R^{41} and R^{42} are independently selected from the group consisting of

10 hydrido, hydroxy, and amino;

Q is selected from the group consisting of hydrido, with the proviso that Z^0 is other than a covalent single bond, aryl, and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is

15 optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

20 K is CHR^{4a} wherein R^{4a} is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl; E^0 is selected from the group consisting of a covalent single bond, $C(O)N(H)$, $(H)NC(O)$, $(R^7)NS(O)_2$, and $S(O)_2N(R^7)$; Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one can be a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 ,

5 and J^6 can be O, no more than one of D^5 , D^6 , J^5 , and J^6 can be S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 can be N, with the provisos that R^{16} , R^{17} , R^{18} , and R^{19} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the 10 divalent nature of sulfur, and the divalent nature of oxygen;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, 15 hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the 20 provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or

dialkylamino at the same time and that no more than one of R²³ and R²⁴ is hydroxy, amino, alkylamino, or dialkylamino at the same time;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

5 Q^s is selected from the group consisting of a single covalent bond,

(CR³⁷R³⁸)_b wherein b is an integer selected from 1 through 4, and

(CH(R¹⁴))_c-W¹-(CH(R¹⁵))_d wherein c and d are integers independently

selected from 1 through 3 and W¹ is selected from the group consisting of

C(O)N(R¹⁴), (R¹⁴)NC(O), S(O), S(O)₂, S(O)₂N(R¹⁴), N(R¹⁴)S(O)₂, and

10 N(R¹⁴), with the provisos that R¹⁴ is selected from other than halo when directly bonded to N and that (CR³⁷R³⁸)_b, and (CH(R¹⁴))_c are bonded to E⁰;

R¹⁴ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

15 R³⁷ and R³⁸ are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

R³⁸ is optionally selected from the group consisting of aroyl and heteroaroyl;

Y⁰ is optionally Q^b-Q^{ss} wherein Q^{ss} is (CH(R¹⁴))_e-W²-(CH(R¹⁵))_h,

wherein e and h are integers independently selected from 1 through 2 and W²

20 is CR^{4a}=CH with the proviso that (CH(R¹⁴))_e is bonded to E⁰.

3. The compound as recited in Claim 2 or a pharmaceutically acceptable salt thereof, wherein:

25 B is selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to

and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, 5 alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

A is $(CH(R^{15}))_{pa}W^7$ wherein pa is an integer selected from 1 through 10 3 and W^7 is selected from the group consisting of O, S, and $N(R^7)$ wherein R^7 is selected from the group consisting of hydrido and alkyl;

R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl with the proviso that R^{15} is other than hydroxy and halo when R^{15} is on the carbon bonded directly to W^7 ;

15 R^1 is selected from the group consisting of hydrido, alkyl, cyano, halo, and haloalkyl;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2;

20 R^{41} and R^{42} are independently selected from the group consisting of hydrido, hydroxy, and amino;

Q is selected from the group consisting of aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of

25 attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by

R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are independently selected from the

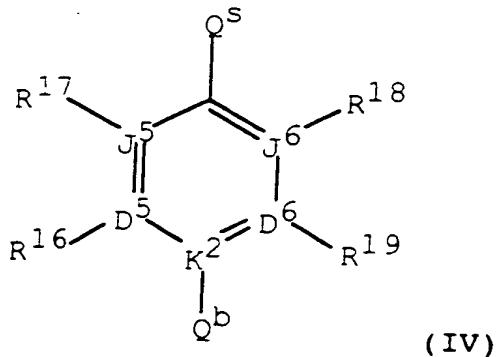
5 group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, 10 aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

K is CHR^{4a} wherein R^{4a} is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

E^0 is selected from the group consisting of a covalent single bond,

$C(O)N(H)$, $(H)NC(O)$, $(R^7)NS(O)_2$, and $S(O)_2N(R^7)$;

15 Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6

20 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6

must be a covalent bond when two of D⁵, D⁶, J⁵, and J⁶ are O and S, and no more than four of D⁵, D⁶, J⁵, and J⁶ are N;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, alkylamino, and cyano;

R¹⁶ and R¹⁹ are optionally Q^b with the proviso that no more than one of R¹⁶ and R¹⁹ is Q^b at the same time and that Q^b is Q^{be};

Q^b is selected from the group consisting of NR²⁰R²¹, Q^{be} wherein Q^{be} is hydrido, N(R²⁶)C(NR²⁵)N(R²³)(R²⁴), and C(NR²⁵)NR²³R²⁴, with the provisos that no more than one of R²⁰ and R²¹ is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of R²³ and R²⁴ is hydroxy, amino, alkylamino, or dialkylamino at the same time;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

Q^s is selected from the group consisting of a single covalent bond, (CR³⁷R³⁸)_b wherein b is an integer selected from 1 through 3, and (CH(R¹⁴))_c-W¹-(CH(R¹⁵))_d wherein c and d are integers independently

selected from 1 through 2 and W¹ is selected from the group consisting of C(O)N(R¹⁴), (R¹⁴)NC(O), S(O), S(O)₂, S(O)₂N(R¹⁴), N(R¹⁴)S(O)₂, and N(R¹⁴), with the provisos that R¹⁴ is selected from other than halo when directly bonded to N and that (CR³⁷R³⁸)_b and (CH(R¹⁴))_c are bonded to E⁰,

R^{14} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

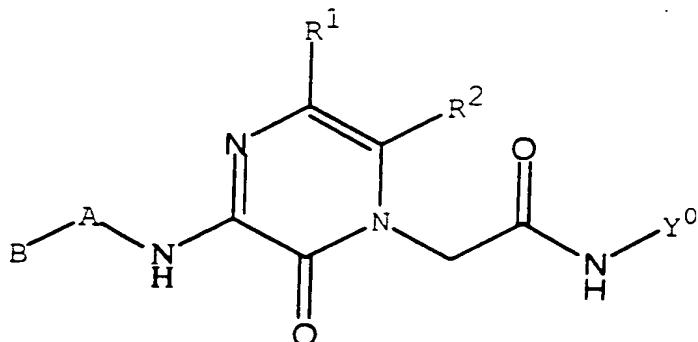
R^{37} and R^{38} are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

5 R^{38} is optionally selected from the group consisting of aroyl and heteroaroyl;

Y^0 is optionally Q^b-Q^{ss} wherein Q^{ss} is $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$, wherein e and h are integers independently selected from 1 through 2 and W^2 is $CR^{4a}=CH$ with the proviso that $(CH(R^{14}))_e$ is bonded to E^0 .

10

4. The compound as recited in Claim 3 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

15 B is selected from the group consisting of hydrido, trialkylsilyl, C2-C4 alkyl, C3-C5 alkylene, C3-C4 alkenyl, C3-C4 alkynyl, and C2-C4 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , and R^{34} ;

R^{32} , R^{33} , and R^{34} are independently selected from the group

20 consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

A is $(CH(R^{15}))_{pa} - N(R^7)$ wherein pa is an integer selected from 1 through 2 and R^7 is selected from the group consisting of hydrido and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

5 R^1 is selected from the group consisting of hydrido, alkyl, cyano, halo, and haloalkyl;

R^2 is $Z^0 - Q$;

10 Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

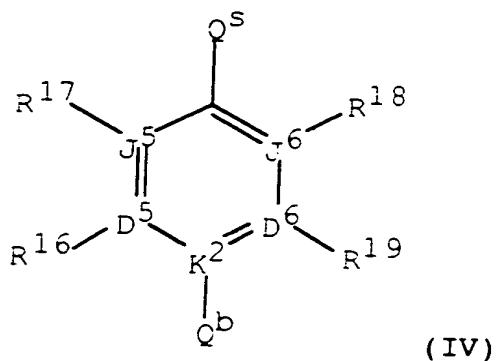
10 Q is selected from the group consisting of aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

20 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

25 R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl,

hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

Y^0 is formula (IV):



5 wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no 10 more than four of D^5 , D^6 , J^5 , and J^6 are N, with the provisos that R^{16} , R^{17} , R^{18} , and R^{19} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

15 R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

20 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

5 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond,

CH_2 , and CH_2CH_2 .

10 5. The compound as recited in Claim 4 having the Formula or a pharmaceutically acceptable salt thereof, wherein;

 B is selected from the group consisting of ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, trimethylene, tetramethylene, butyl, 2-but enyl, 3-but enyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 2,2,2-trifluoroethyl, 3,3,3-trifluoropropyl, and 2,2-difluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including

15 3 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , and R^{34} ;

R^{32} , R^{33} , and R^{34} are independently selected from the group

20 consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro,

25 bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

A is selected from the group consisting of single covalent bond, NH, and N(CH₃):

R¹ is selected from the group consisting of hydrido, methyl, ethyl, propyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-

5 pentafluoropropyl, fluoro, chloro, and bromo;

R² is Z⁰-Q;

Z⁰ is selected from the group consisting of a covalent single bond and CH₂;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl,

10 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the

15 other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally
20 substituted by R¹¹;

R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-

hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of

hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl,
 5 isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl,
 10 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

Y^0 is selected from the group consisting of:

$1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,
 15 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$ pyridine,
 $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$ pyridine, $2-Q^b-4-Q^s-3-R^{16}-6-R^{18}$ pyrazine,
 $3-Q^b-6-Q^s-2-R^{18}-5-R^{18}-4-R^{19}$ pyridazine,
 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}$ pyrimidine, $5-Q^b-2-Q^s-3-R^{16}-6-R^{19}$ pyrimidine,
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ thiophene, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene,
 20 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ furan, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ furan,
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ pyrrole, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ pyrrole,
 $4-Q^b-2-Q^s-5-R^{19}$ imidazole, $2-Q^b-4-Q^s-5-R^{17}$ imidazole,
 $3-Q^b-5-Q^s-4-R^{16}$ isoxazole, $5-Q^b-3-Q^s-4-R^{16}$ isoxazole,
 $2-Q^b-5-Q^s-4-R^{16}$ pyrazole, $4-Q^b-2-Q^s-5-R^{19}$ thiazole, and
 25 $2-Q^b-5-Q^s-4-R^{17}$ thiazole;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,

5 N -ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N -methylamidosulfonyl, N,N -dimethylamidosulfonyl,

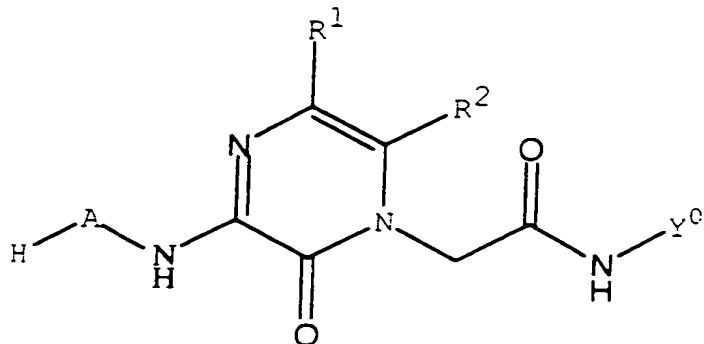
10 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of R^{20} , R^{21} , R^{23} , and R^{24} can be hydroxy, when any two of the group consisting of R^{20} , R^{21} , R^{23} , and R^{24} are bonded to the same atom and that said Q^b group is bonded directly to a carbon atom;

15 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy; Q^s is selected from the group consisting of a single covalent bond, CH_2 , and CH_2CH_2 .

6. The compound as recited in Claim 4 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

A is selected from the group consisting of $\text{CH}_2\text{N}(\text{CH}_3)$.

5 $\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)$, $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_3)$, and $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)$;

R^1 is selected from the group consisting of hydrido, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, and bromo;

R^2 is $Z^0\text{-Q}$;

10 Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent

15 to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at

20 the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally

substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

R⁹, R¹¹, and R¹³ are independently selected from the group consisting

of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl,
 5 methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino,
 N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio,
 trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-
 pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro,
 chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl,
 10 N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-
 hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-
 methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

R¹⁰ and R¹² are independently selected from the group consisting of
 hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl,
 15 isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,
 methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-
 aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino,
 methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-
 dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl,
 20 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl,
 amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl,
 fluoro, chloro, bromo, and cyano;

Y⁰ is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
 25 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,
 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 2-Q^b-4-Q^s-3-R¹⁶-6-R¹⁸ pyrazine,
 3-Q^b-6-Q^s-2-R¹⁸-5-R¹⁸-4-R¹⁹ pyridazine,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸ pyrimidine, 5-Q^b-2-Q^s-3-R¹⁶-6-R¹⁹ pyrimidine,

$3\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}\text{-2-R}^{19}$ thiophene, $2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-3-R}^{16}\text{-4-R}^{17}$ thiophene,
 $3\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}\text{-2-R}^{19}$ furan, $2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-3-R}^{16}\text{-4-R}^{17}$ furan,
 $3\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}\text{-2-R}^{19}$ pyrrole, $2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-3-R}^{16}\text{-4-R}^{17}$ pyrrole,
 $4\text{-Q}^{\text{b}}\text{-2-Q}^{\text{s}}\text{-5-R}^{19}$ imidazole, $2\text{-Q}^{\text{b}}\text{-4-Q}^{\text{s}}\text{-5-R}^{17}$ imidazole,
5 $3\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}$ isoxazole, $5\text{-Q}^{\text{b}}\text{-3-Q}^{\text{s}}\text{-4-R}^{16}$ isoxazole,
 $2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}$ pyrazole, $4\text{-Q}^{\text{b}}\text{-2-Q}^{\text{s}}\text{-5-R}^{19}$ thiazole, and
 $2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{17}$ thiazole;

 R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group
consisting of hydrido, methyl, ethyl, isopropyl, propyl, amidino, guanidino,
10 methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-
aminoethyl, 2-aminoethyl, N-N-methylamino, dimethylamino, N-ethylamino,
methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl,
ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl,
2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-
15 tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-
methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-
hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;
 Q^{b} is selected from the group consisting of $NR^{20}R^{21}$,
 $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos
20 that no more than one of R^{20} , R^{21} , R^{23} , and R^{24} can be hydroxy, when any
two of the group consisting of R^{20} , R^{21} , R^{23} , and R^{24} are bonded to the
same atom and that said Q^{b} group is bonded directly to a carbon atom;
 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from
the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and
25 hydroxy;

Q^S is selected from the group consisting of a single covalent bond,

CH_2 , and CH_2CH_2 .

7. The compound as recited in Claim 6 or a pharmaceutically acceptable salt
5 thereof, wherein;

A is selected from the group consisting of $CH_2N(CH_3)$,

$CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$;

10 R^1 is selected from the group consisting of hydrido, methyl, ethyl, propyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, and bromo;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

15 Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxy-5-aminophenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

20 Y^0 is selected from the group consisting of:

1- Q^b -4- Q^S -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,

2- Q^b -5- Q^S -6- R^{17} -4- R^{18} -2- R^{19} pyridine,

3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;

R¹⁶ and R¹⁹ are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, 5 hydroxymethyl, fluoro, chloro, and cyano;

R¹⁶ and R¹⁹ are optionally Q^b with the proviso that no more than one of R¹⁶ and R¹⁹ is Q^b at the same time and that Q^b is Q^{be}:

R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano; 10 Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and C(NR²⁵)NR²³R²⁴;

R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido and methyl;

Q^s is CH₂.

15

8. A compound as recited in Claim 7 or a pharmaceutically acceptable salt thereof where said compound is selected from the group consisting of:

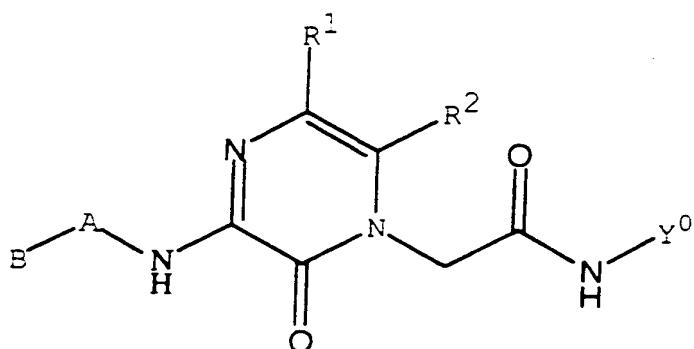
20 6-[3-aminophenyl]-5-chloro-N-[[4-iminomethylphenyl]methyl]-3-[N,N-dimethylhydrazino]-2-oxo-1(2H)-pyrazineacetamide;

6-[3-aminophenyl]-5-chloro-3-[N-ethyl-N-methylhydrazino]-N-[[4-iminomethylphenyl]methyl]-2-oxo-1(2H)-pyrazineacetamide;

25 6-[3-aminophenyl]-5-chloro-3-[N,N-diethylhydrazino]-N-[[4-iminomethylphenyl]methyl]-2-oxo-1(2H)-pyrazineacetamide;

6-[3-aminophenyl]-3-[N-(azetidin-1-yl)amino]-5-chloro-N-[[4-iminomethylphenyl]methyl]-2-oxo-1(2H)-pyrazineacetamide.

9. The compound as recited in Claim 2 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

5 B is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} .

³²R, ³³R, ³⁴R, ³⁵R, and ³⁶R are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and O^b:

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_\pi$ wherein π is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group consisting of $(R^7)NC(O)$ and $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

5 R^1 is selected from the group consisting of hydrido, alkyl, cyano, haloalkyl, and halo;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

10 Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is

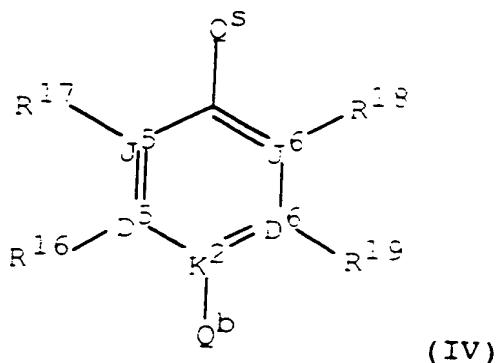
optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is

15 optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

20 R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} :

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

Q^5 is selected from the group consisting of a single covalent bond,

CH_2 , and CH_2CH_2 .

5

10. The compound as recited in Claim 9 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} ;

20 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl,

methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O).

5 C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and CF₃CHCH₂:

R¹ is selected from the group consisting of hydrido, methyl, ethyl, propyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, fluoro, chloro, and bromo;

10 R² is Z⁰-Q;

Z⁰ is selected from the group consisting of covalent single bond and CH₂;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

25 R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl,

methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro.

5 chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano:

R^{10} and R^{12} are independently selected from the group consisting of

10 hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano:

Y^0 is selected from the group consisting of:

20 $1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,
 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$ pyridine,
 $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$ pyridine, $2-Q^b-4-Q^s-3-R^{16}-6-R^{18}$ pyrazine, $3-Q^b-6-Q^s-2-R^{18}-5-R^{18}-4-R^{19}$ pyridazine,
 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}$ pyrimidine, $5-Q^b-2-Q^s-3-R^{16}-6-R^{19}$ pyrimidine,
25 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ thiophene, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene,
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ furan, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ furan,
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ pyrrole, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ pyrrole,
 $4-Q^b-2-Q^s-5-R^{19}$ imidazole, $2-Q^b-4-Q^s-5-R^{17}$ imidazole,

$3\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}$ isoxazole, $5\text{-Q}^{\text{b}}\text{-3-Q}^{\text{s}}\text{-4-R}^{16}$ isoxazole,

$2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}$ pyrazole, $4\text{-Q}^{\text{b}}\text{-2-Q}^{\text{s}}\text{-5-R}^{19}$ thiazole, and

$2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{17}$ thiazole;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group

5 consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,

10 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

15 R^{16} and R^{19} are optionally Q^{b} with the proviso that no more than one of R^{16} and R^{19} is Q^{b} at the same time and that Q^{b} is Q^{be} :

Q^{b} is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$, with the proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

20 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

Q^{s} is selected from the group consisting of a single covalent bond, CH_2 and CH_2CH_2 .

25 11. The compound as recited in Claim 10 or a pharmaceutically acceptable salt thereof, wherein:

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-

dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazoyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

5 A is selected from the group consisting of CH_2 , CH_3CH , CF_3CH , NHC(O) , CH_2CH_2 , and $\text{CH}_2\text{CH}_2\text{CH}_2$:

10 R^1 is selected from the group consisting of hydrido, methyl, ethyl, propyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, and bromo;

R^2 is $Z^0\text{-Q}$;

Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

15 Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

20 30 Y^0 is selected from the group consisting of:

$1\text{-Q}^{\text{b}}\text{-}4\text{-Q}^{\text{s}}\text{-}2\text{-R}^{16}\text{-}3\text{-R}^{17}\text{-}5\text{-R}^{18}\text{-}6\text{-R}^{19}\text{benzene}$,

$2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-6-R}^{17}\text{-4-R}^{18}\text{-2-R}^{19}$ pyridine.

$3\text{-Q}^{\text{b}}\text{-6-Q}^{\text{s}}\text{-2-R}^{16}\text{-5-R}^{18}\text{-4-R}^{19}$ pyridine.

$3\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}\text{-2-R}^{19}$ thiophene, and $2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-3-R}^{16}\text{-4-R}^{17}$ thiophene;

R^{16} and R^{19} are independently selected from the group consisting of
5 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

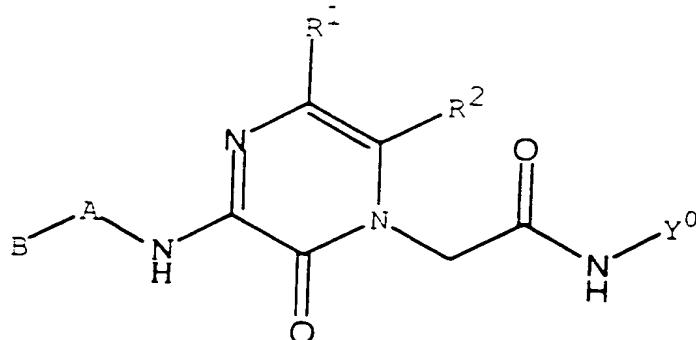
R^{16} and R^{19} are optionally Q^{b} with the proviso that no more than one of R^{16} and R^{19} is Q^{b} at the same time and that Q^{b} is Q^{be} ;

R^{17} and R^{18} are independently selected from the group consisting of
10 hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;
 Q^{b} is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $\text{C}(\text{NR}^{25})\text{NR}^{23}\text{R}^{24}$.

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

15 Q^{s} is CH_2 .

12. The compound as recited in Claim 9 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;
20 B is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted

by R^{32} , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is

5 optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_\pi$ wherein π is an integer selected from 0 through 1, pa is

10 an integer selected from 0 through 3, and W^7 is $N(R^7)$;

R^7 is selected from the group consisting of hydrido and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

15 R^1 is selected from the group consisting of hydrido, cyano, haloalkyl, and halo;

R^2 is Z^0-Q ;

Z^0 is a covalent single bond;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted

20 by R^9 , the other carbon adjacent to the carbon at the point of attachment is

optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the

carbon at the point of attachment is optionally substituted by R^{10} , a carbon

adjacent to R^{13} and two atoms from the carbon at the point of attachment is

optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is

5 optionally substituted by R^{11} :

R^9 , R^{11} , and R^{13} are independently selected from the group

consisting of hydrido, hydroxy, amino, amidino, guanidino, lower

alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl,

monoalkylamidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl,

10 carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of

hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy,

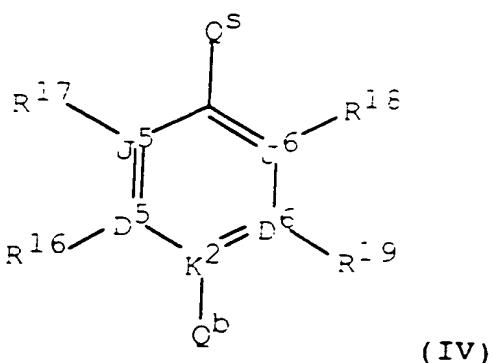
alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino,

alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl

15 amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy,

carboxy, carboxyamido, carboxyalkyl, and cyano;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group

20 consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6

is O, no more than one of D⁵, D⁶, J⁵, and J⁶ is S, one of D⁵, D⁶, J⁵, and J⁶ must be a covalent bond when two of D⁵, D⁶, J⁵, and J⁶ are O and S, and no more than four of D⁵, D⁶, J⁵, and J⁶ are N;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group

5 consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R¹⁶ and R¹⁹ are optionally Q^b with the proviso that no more than one of

10 R¹⁶ and R¹⁹ is Q^b at the same time and that Q^b is Q^{be};

Q^b is selected from the group consisting of NR²⁰R²¹, Q^{be} wherein Q^{be} is hydrido, and C(NR²⁵)NR²³R²⁴;

R²⁰, R²¹, R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido and alkyl;

15 Q^s is CH₂.

13. The compound as recited in Claim 12 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R³², the other carbon adjacent to the carbon at the point of attachment is

optionally substituted by R³⁶, a carbon adjacent to R³² and two atoms from

25 the carbon at the point of attachment is optionally substituted by R³³, a carbon adjacent to R³⁶ and two atoms from the carbon at the point of attachment is

optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is optionally substituted by R^{34} :

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy,
 5 ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio,
 ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro,
 chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl,
 amidocarbonyl, carboxy, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond, NH,

10 $N(CH_3)$, CH_2 , CH_3CH , and CH_2CH_2 ;

R^1 is selected from the group consisting of hydrido, trifluoromethyl,
 pentafluoroethyl, fluoro, and chloro;

15 R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl,
 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl,
 wherein a carbon adjacent to the carbon at the point of attachment is optionally
 substituted by R^9 , the other carbon adjacent to the carbon at the point of

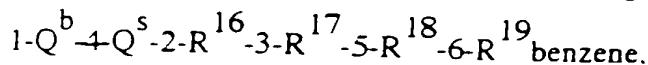
attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two
 atoms from the carbon at the point of attachment is optionally substituted by
 R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of

20 attachment is optionally substituted by R^{12} , and any carbon adjacent to both
 R^{10} and R^{12} is optionally substituted by R^{11} ;

25 R^9 , R^{11} , and R^{13} are independently selected from the group consisting
 of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino,
 N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-
 trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl,
 N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl,
 N-methylamidocarbonyl, carboxy, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, 5 pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

Y^0 is selected from the group consisting of:



10 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$ pyridine, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene,
 $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$ pyridine, $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ thiophene,
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ furan, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ furan,
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ pyrrole, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ pyrrole,
 $4-Q^b-2-Q^s-5-R^{19}$ thiazole, and $2-Q^b-5-Q^s-4-R^{17}$ thiazole;

15 R^{16}, R^{17}, R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

20 Q^b is selected from the group consisting of $NR^{20}R^{21}$ and $C(NR^{25})NR^{23}R^{24}$, with the proviso that said Q^b group is bonded directly to a carbon atom;

25 $R^{20}, R^{21}, R^{23}, R^{24}$, and R^{25} are independently selected from the group consisting of hydrido, methyl, and ethyl;

Q^s is CH_2 .

14. The compound as recited in Claim 13 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazoyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

A is selected from the group consisting of CH_2 , CH_3CH , CF_3CH ,

NHC(O) , CH_2CH_2 , and $\text{CH}_2\text{CH}_2\text{CH}_2$:

15 R^1 is selected from the group consisting of hydrido, trifluoromethyl, pentafluoroethyl, fluoro, and chloro;

20 R^2 is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-disfluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

30 Y^0 is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene.

2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine.

3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine.

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;

5 R¹⁶ and R¹⁹ are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R¹⁶ and R¹⁹ are optionally Q^b with the proviso that no more than one of R¹⁶ and R¹⁹ is Q^b at the same time and that Q^b is Q^{be};

10 R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and C(NR²⁵)NR²³R²⁴;

15 R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido and methyl;

Q^s is CH₂.

15. The compound as recited in Claim 14 or a pharmaceutically acceptable salt thereof, wherein:

20 B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, phenyl, 2-imidazoyl, 3-pyridyl, 4-pyridyl, and 3-trifluoromethyl-2-pyridyl;

A is selected from the group consisting of CH₂, NHC(O),

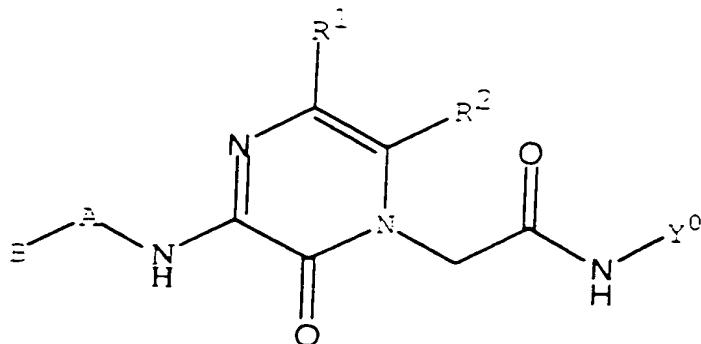
25 CH₂CH₂ and CH₂CH₂CH₂:

R¹ is selected from the group consisting of hydrido and chloro;

R^2 is selected from the group consisting of 3-aminophenyl, benzyl, 3-chlorophenyl, 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

16. A compound as recited in Claim 9 where said compound is selected from
10 the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

15 R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

20 R^2 is 3-aminophenyl, B is 2-imidazoyl, A is $CH_2CH_2CH_2$, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-dimethylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 2-methylphenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is phenyl, B is 3-aminophenyl, A is $C(O)NH$, Y^0 is 4-amidinobenzyl, and R^1 is hydrido;

5 R^2 is phenyl, B is 3-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-(N-methylamino)phenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

10 R^2 is 3-thienyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-methylsulfonamidophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is phenyl, B is 4-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

15 R^2 is 3-methylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is hydrido;

R^2 is phenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

20 R^2 is phenyl, B is 4-pyridyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is phenyl, B is 3-pyridyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

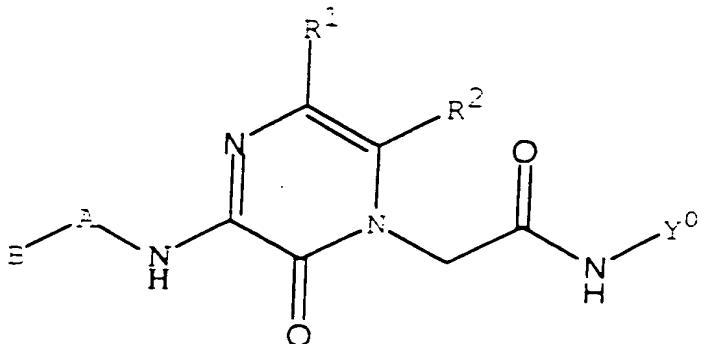
R^2 is 3-chlorophenyl, B is 4-pyridyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-methylphenyl, B is 4-phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is hydrido;

R^2 is 3-thienyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro.

5

17. The compound as recited in Claim 2 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

10 B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

15 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, 20 cyano, and Q^b;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_\pi$ wherein π is an integer selected from 0 through 1, pa is

an integer selected from 0 through 3, and W^7 is selected from the group consisting of $(R^7)NC(O)$ and $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

5 R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^1 is selected from the group consisting of hydrido, alkyl, cyano, haloalkyl, and halo;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and

10 CH_2 :

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the

15 carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

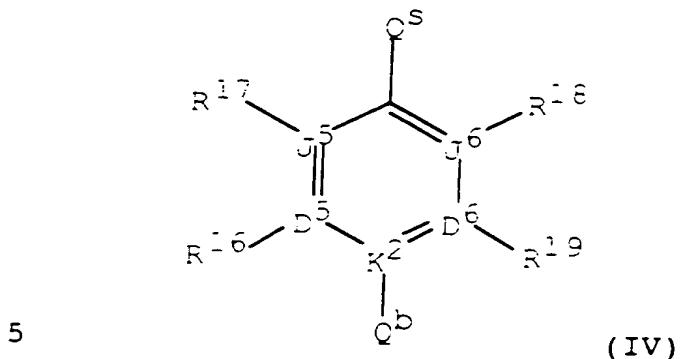
R^9 , R^{11} , and R^{13} are independently selected from the group

20 consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

25 R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido,

amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with

the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time:

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

5 Q^5 is selected from the group consisting of a single covalent bond,

CH_2 , and CH_2CH_2 .

18. The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein:

- 10 B is selected from the group consisting of hydrido, ethyl, 2-propynyl, 2-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butyanyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentyanyl, 3-pentyanyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butyanyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butyanyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentyanyl, 1-methyl-3-pentyanyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butyanyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentyanyl, 1-ethyl-3-pentyanyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more
- 25 of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;
- 30

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b:

A is selected from the group consisting of single covalent bond, NH, N(CH₃), N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O), C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and

15 CF₃CHCH₂:

R^1 is selected from the group consisting of hydrido, methyl, ethyl, propyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, fluoro, chloro, and bromo;

R^2 is Z⁰-Q;

20 Z⁰ is selected from the group consisting of covalent single bond and CH₂:

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at

the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} :

- 5 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;
- 10 R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;
- 15 R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;
- 20 Y^0 is selected from the group consisting of:
 $1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,
 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$ pyridine.
- 25

$3\text{-}Q^b\text{-}6\text{-}Q^s\text{-}2\text{-}R^{16}\text{-}5\text{-}R^{18}\text{-}4\text{-}R^{19}$ pyridine, $2\text{-}Q^b\text{-}4\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}6\text{-}R^{18}$ pyrazine, $3\text{-}Q^b\text{-}6\text{-}Q^s\text{-}2\text{-}R^{18}\text{-}5\text{-}R^{18}\text{-}4\text{-}R^{19}$ pyridazine,
 $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}6\text{-}R^{17}\text{-}4\text{-}R^{18}$ pyrimidine, $5\text{-}Q^b\text{-}2\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}6\text{-}R^{19}$ pyrimidine,
 $3\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}\text{-}2\text{-}R^{19}$ thiophene, $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}4\text{-}R^{17}$ thiophene,
5 $3\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}\text{-}2\text{-}R^{19}$ furan, $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}4\text{-}R^{17}$ furan,
 $3\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}\text{-}2\text{-}R^{19}$ pyrrole, $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}4\text{-}R^{17}$ pyrrole,
 $4\text{-}Q^b\text{-}2\text{-}Q^s\text{-}5\text{-}R^{19}$ imidazole, $2\text{-}Q^b\text{-}4\text{-}Q^s\text{-}5\text{-}R^{17}$ imidazole,
 $3\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}$ isoxazole, $5\text{-}Q^b\text{-}3\text{-}Q^s\text{-}4\text{-}R^{16}$ isoxazole,
 $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}$ pyrazole, $4\text{-}Q^b\text{-}2\text{-}Q^s\text{-}5\text{-}R^{19}$ thiazole, and
10 $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{17}$ thiazole:

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group
consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,
guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,
aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,
15 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio,
methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,
pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3-pentafluoropropyl,
trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,
amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl,
20 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-
hydroxyethyl, and cyano:

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of
 R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;
 Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} , wherein Q^{be}
25 is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the

provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time:

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

5 Q^S is selected from the group consisting of a single covalent bond,

CH_2 , and CH_2CH_2 .

19. The compound as recited in Claim 18 or a pharmaceutically acceptable salt thereof, wherein:

10 B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-

15 dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

20 A is selected from the group consisting of single covalent bond, CH_2 ,

$NHC(O)$, CH_2CH_2 , $CH_2CH_2CH_2$, and CH_3CHCH_2 :

1 R^1 is selected from the group consisting of hydrido, methyl, ethyl, propyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, and bromo;

25 R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-

hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

15 $1\text{-}Q^b\text{-}4\text{-}Q^s\text{-}2\text{-}R^{16}\text{-}3\text{-}R^{17}\text{-}5\text{-}R^{18}\text{-}6\text{-}R^{19}$ benzene,

$2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}6\text{-}R^{17}\text{-}4\text{-}R^{18}\text{-}2\text{-}R^{19}$ pyridine,

$3\text{-}Q^b\text{-}6\text{-}Q^s\text{-}2\text{-}R^{16}\text{-}5\text{-}R^{18}\text{-}4\text{-}R^{19}$ pyridine,

$3\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}\text{-}2\text{-}R^{19}$ thiophene, and $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}4\text{-}R^{17}$ thiophene;

R^{16} and R^{19} are independently selected from the group consisting of

20 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

R^{17} and R^{18} are independently selected from the group consisting of

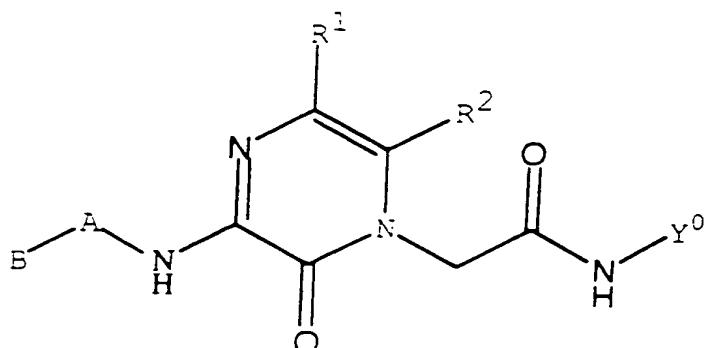
25 hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

Q^S is CH_2 .

5 20. The compound as recited in Claim 17 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_\pi$ wherein π is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$;

R^7 is selected from the group consisting of hydrido and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R^1 is selected from the group consisting of hydrido, cyano, haloalkyl, and halo;

5 R^2 is Z^0 -Q;

Z^0 is a covalent single bond;

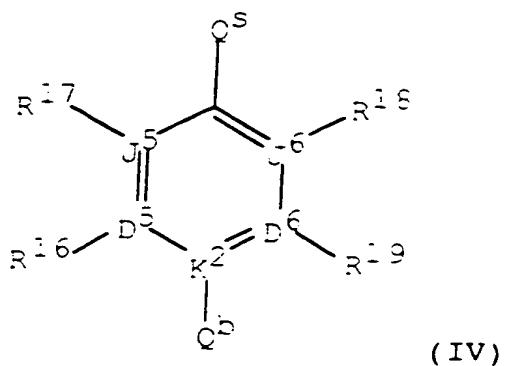
Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is

10 optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

15 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

20 R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyamido, carboxyalkyl, and cyano;

Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido and alkyl;

Q^s is CH_2 .

21. The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R³², R³³, R³⁴, R³⁵, and R³⁶:

20 R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q^b;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), CH₂, CH₃CH, and CH₂CH₂;

A is optionally selected from the group consisting of CH₂N(CH₃), CH₂N(CH₂CH₃), CH₂CH₂N(CH₃), and CH₂CH₂N(CH₂CH₃) with the proviso that B is hydrido;

R^1 is selected from the group consisting of hydrido, trifluoromethyl, pentafluoroethyl, fluoro, and chloro;

R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl,

5 wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of

10 attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} :

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

15 R^{10} and R^{12} are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

20 Y^0 is selected from the group consisting of:

25 $1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,
 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$ pyridine, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene,
 $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$ pyridine, $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ thiophene,

$3\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}\text{-2-R}^{19}$ furan, $2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-3-R}^{16}\text{-4-R}^{17}$ furan,

$3\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{16}\text{-2-R}^{19}$ pyrrole, $2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-3-R}^{16}\text{-4-R}^{17}$ pyrrole,

$4\text{-Q}^{\text{b}}\text{-2-Q}^{\text{s}}\text{-5-R}^{19}$ thiazole, and $2\text{-Q}^{\text{b}}\text{-5-Q}^{\text{s}}\text{-4-R}^{17}$ thiazole;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group

5 consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, 10 hydroxymethyl, carboxy, and cyano.

Q^{b} is selected from the group consisting of $\text{NR}^{20}\text{R}^{21}$,

$\text{C}(\text{NR}^{25})\text{NR}^{23}\text{R}^{24}$, and $\text{N}(\text{R}^{26})\text{C}(\text{NR}^{25})\text{N}(\text{R}^{23})(\text{R}^{24})$, with the proviso that said Q^{b} group is bonded directly to a carbon atom;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the

15 group consisting of hydrido, methyl, and ethyl;

Q^{s} is CH_2 .

22. The compound as recited in Claim 21 or a pharmaceutically acceptable salt thereof, wherein;

20 B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of single covalent bond, CH_2 ,

CH_3CH , and CH_2CH_2 :

R^1 is selected from the group consisting of hydrido, trifluoromethyl, fluoro, and chloro;

5 R^2 is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

10 Y^0 is selected from the group consisting of:
 1- Q^{b} -4- Q^{s} -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,
 2- Q^{b} -5- Q^{s} -6- R^{17} -4- R^{18} -2- R^{19} pyridine,
 3- Q^{b} -6- Q^{s} -2- R^{16} -5- R^{18} -4- R^{19} pyridine,
 3- Q^{b} -5- Q^{s} -4- R^{16} -2- R^{19} thiophene, and 2- Q^{b} -5- Q^{s} -3- R^{16} -4- R^{17} thiophene;

15 R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} :

R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

5 Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

Q^s is CH_2 .

10

23. The compound as recited in Claim 22 or a pharmaceutically acceptable salt thereof, wherein:

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of single covalent bond, CH_2 ,

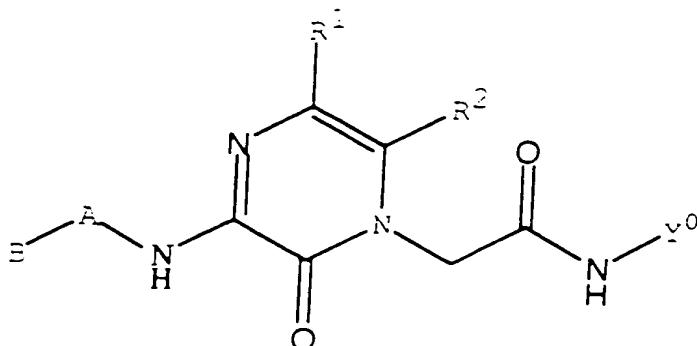
CH_3CH , and CH_2CH_2 ;

25 R^1 is selected from the group consisting of hydrido and chloro;

R^2 is selected from the group consisting of 5-amino-2-fluorophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-carboxyphenyl, 3-cyanophenyl, 3-methoxycarbonylphenyl, phenyl, and 3-pyridyl;

Y^0 is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

24. A compound as recited in Claim 17 where said compound is selected from
5 the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

R^2 is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

10 R^2 is 3-aminophenyl, B is (S)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 5-amino-2-fluorophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

15 R^2 is 2-methyl-3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is ethyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is ethyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and R^1 is chloro;

20 R^2 is 3-aminophenyl, B is 2-propenyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

5 R^2 is 3-aminophenyl, B is 2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is (R)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

10 R^2 is 3-aminophenyl, B is 2-propynyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 3-pentyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is hydrido;

R^2 is 3-aminophenyl, B is hydrido, A is CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

15 R^2 is 3-aminophenyl, B is ethyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 2-methypropyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

20 R^2 is 3-aminophenyl, B is 2-propyl, A is CH_3CH , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is propyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is tert-butyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is hydrido:

R^2 is 3-aminophenyl, B is tert-butyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro:

5 R^2 is 3-aminophenyl, B is 3-hydroxypropyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro:

R^2 is 3-aminophenyl, B is 2-methylpropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and R^1 is chloro:

10 R^2 is 3-aminophenyl, B is butyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro:

15 R^2 is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

15 R^2 is 3-aminophenyl, B is 2-methoxy-2-ethyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

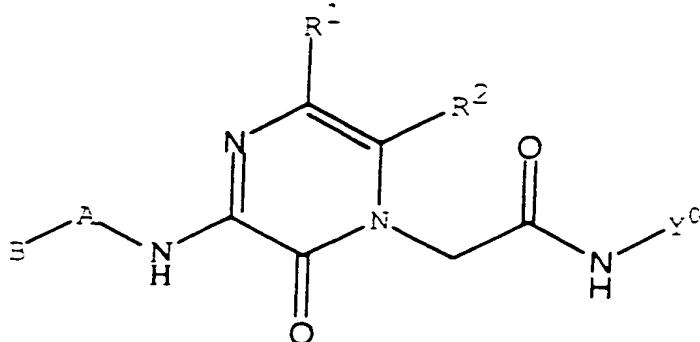
R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 5-amidino-2-thienylmethyl, and R^1 is chloro;

20 R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, and R^1 is hydrido;

R^2 is 3-carboxyphenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is hydrido;

R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, and R^1 is chloro.

25. The compound as recited in Claim 2 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

B is selected from the group consisting of C3-C7 cycloalkyl and C4-C6
5 saturated heterocyclyl, wherein each ring carbon is optionally substituted with
R³³. a ring carbon other than the ring carbon at the point of attachment of B to
A is optionally substituted with oxo provided that no more than one ring carbon
is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to
the carbon atom at the point of attachment are optionally substituted with R⁹ or
10 R¹³, a ring carbon or nitrogen adjacent to the R⁹ position and two atoms from
the point of attachment is optionally substituted with R¹⁰, a ring carbon or
nitrogen adjacent to the R¹³ position and two atoms from the point of
attachment is optionally substituted with R¹², a ring carbon or nitrogen three
atoms from the point of attachment and adjacent to the R¹⁰ position is
15 optionally substituted with R¹¹, a ring carbon or nitrogen three atoms from
the point of attachment and adjacent to the R¹² position is optionally
substituted with R³³, and a ring carbon or nitrogen four atoms from the point
of attachment and adjacent to the R¹¹ and R³³ positions is optionally
substituted with R³⁴:

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, 5 haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl,

10 hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

R^{33} and R^{34} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl 15 amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_\pi$ wherein π is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group 20 consisting of $(R^7)NC(O)$ and $N(R^7)^2$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

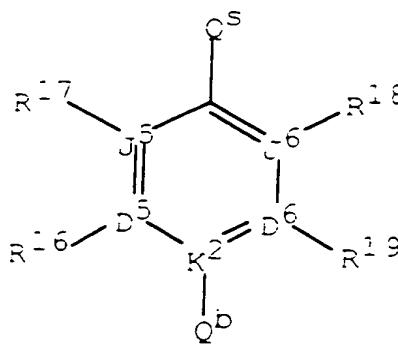
25 R^1 is selected from the group consisting of hydrido, alkyl, cyano, haloalkyl, and halo;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the 5 carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹:

Y⁰ is formula (IV):



wherein D⁵, D⁶, J⁵, and J⁶ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K² is C, no more than one of D⁵, D⁶, J⁵, and J⁶ is O, no more than one of D⁵, D⁶, J⁵, and J⁶ is S, one of D⁵, D⁶, J⁵, and J⁶ is O and S, and no more than four of D⁵, D⁶, J⁵, and J⁶ are N;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl,

alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

5 Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

10 R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond,

CH₂, and CH₂CH₂.

26. The compound as recited in Claim 25 or a pharmaceutically acceptable salt
15 thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, norbornyl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl, cycloheptyl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is
20 optionally substituted with R^{33} , ring carbons and a nitrogen adjacent to the
25 carbon atom at the point of attachment are optionally substituted with R^9 or
 R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from

the point of attachment is optionally substituted with R^{10} , and a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting

- 5 of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;
- 10

R^{10} and R^{12} are independently selected from the group consisting of

- 15 hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;
- 20

R^{33} is selected from the group consisting of hydrido, amidino,

- 25 guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl,
- 30

2.2.2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b:

A is selected from the group consisting of single covalent bond, NH,

5 N(CH₃), N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O).

C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and
CF₃CHCH₂:

R¹ is selected from the group consisting of hydrido, methyl, ethyl,
propyl, trifluoromethyl, pentafluoroethyl, 2.2.2-trifluoroethyl, 2.2.3,3,3-
10 pentafluoropropyl, fluoro, chloro, and bromo;

R² is Z⁰-Q;

Z⁰ is selected from the group consisting of covalent single bond and
CH₂:

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl,
15 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-
pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-
pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl,
3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent
to the carbon at the point of attachment is optionally substituted by R⁹, the
other carbon adjacent to the carbon at the point of attachment is optionally
20 substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at
the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to
R¹³ and two atoms from the carbon at the point of attachment is optionally
substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally
25 substituted by R¹¹;

Y⁰ is selected from the group consisting of:

$1\text{-}Q^b\text{-}4\text{-}Q^s\text{-}2\text{-}R^{16}\text{-}3\text{-}R^{17}\text{-}5\text{-}R^{18}\text{-}6\text{-}R^{19}$ benzene,
 $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}6\text{-}R^{17}\text{-}4\text{-}R^{18}\text{-}2\text{-}R^{19}$ pyridine.
 $3\text{-}Q^b\text{-}6\text{-}Q^s\text{-}2\text{-}R^{16}\text{-}5\text{-}R^{18}\text{-}4\text{-}R^{19}$ pyridine. $2\text{-}Q^b\text{-}4\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}6\text{-}R^{18}$ pyrazine. $3\text{-}Q^b\text{-}6\text{-}Q^s\text{-}2\text{-}R^{18}\text{-}5\text{-}R^{18}\text{-}4\text{-}R^{19}$ pyridazine.
 5 $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}6\text{-}R^{17}\text{-}4\text{-}R^{18}$ pyrimidine. $5\text{-}Q^b\text{-}2\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}6\text{-}R^{19}$ pyrimidine.
 $3\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}\text{-}2\text{-}R^{19}$ thiophene. $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}4\text{-}R^{17}$ thiophene.
 $3\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}\text{-}2\text{-}R^{19}$ furan. $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}4\text{-}R^{17}$ furan.
 $3\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}\text{-}2\text{-}R^{19}$ pyrrole. $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}3\text{-}R^{16}\text{-}4\text{-}R^{17}$ pyrrole.
 $4\text{-}Q^b\text{-}2\text{-}Q^s\text{-}5\text{-}R^{19}$ imidazole. $2\text{-}Q^b\text{-}4\text{-}Q^s\text{-}5\text{-}R^{17}$ imidazole.
 10 $3\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}$ isoxazole. $5\text{-}Q^b\text{-}3\text{-}Q^s\text{-}4\text{-}R^{16}$ isoxazole.
 $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{16}$ pyrazole. $4\text{-}Q^b\text{-}2\text{-}Q^s\text{-}5\text{-}R^{19}$ thiazole, and
 $2\text{-}Q^b\text{-}5\text{-}Q^s\text{-}4\text{-}R^{17}$ thiazole;
 R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group
 consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,
 15 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,
 aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,
 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio,
 methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,
 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3-pentafluoropropyl,
 20 trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,
 amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl,
 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-
 hydroxyethyl, and cyano;
 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of
 25 R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$, with the proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time:

5 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond, CH_2 and CH_2CH_2 .

27. The compound as recited in Claim 26 or a pharmaceutically acceptable salt 10 thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1-pyrrolidinyl, 1-piperidinyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 7-oxabicyclo[2.2.1]heptan-2-yl, 15 bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyan-4-one-2-yl, 4H-pyan-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl;

A is selected from the group consisting of single covalent bond, CH_2 , $NHC(O)$, CH_2CH_2 , and $CH_2CH_2CH_2$;

25 R^1 is selected from the group consisting of hydrido, methyl, ethyl, propyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, and bromo;

R^2 is Z^0-Q ;

Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

Q^0 is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 5 benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-disfluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹ benzene,
 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,
 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine,
 20 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene;

R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

25 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

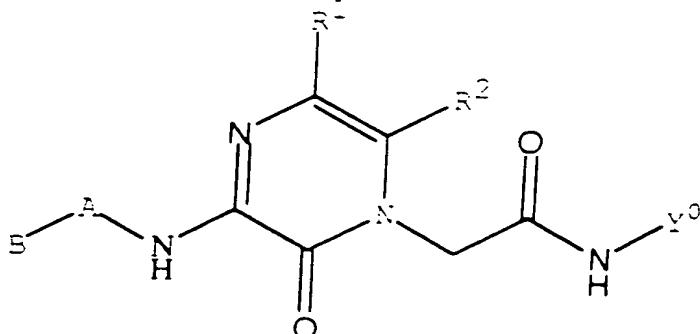
R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

Q^S is CH_2 .

5 28. The compound as recited in Claim 25 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

B is selected from the group consisting of C3-C7 cycloalkyl and C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{10} position is optionally substituted with R^{11} , a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R^{12} position is optionally substituted with R^{33} , and a ring carbon or nitrogen four atoms from the point of attachment is optionally substituted with R^{33} .

10 15 20

of attachment and adjacent to the R^{11} and R^{33} positions is optionally substituted with R^{34} :

R^9 , R^{11} , and R^{13} are independently selected from the group

consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

5 R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxyamido, and cyano;

10 R^{33} and R^{34} are independently selected from the group consisting of hydrido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

15 R^{33} is optionally Q^b :
 20 A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{\pi}$ wherein π is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$;

25 R^7 is selected from the group consisting of hydrido and alkyl;
 R¹⁵ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R¹ is selected from the group consisting of hydrido, cyano, haloalkyl, and halo;

R^2 is Z^0-Q ;

Z^0 is a covalent single bond;

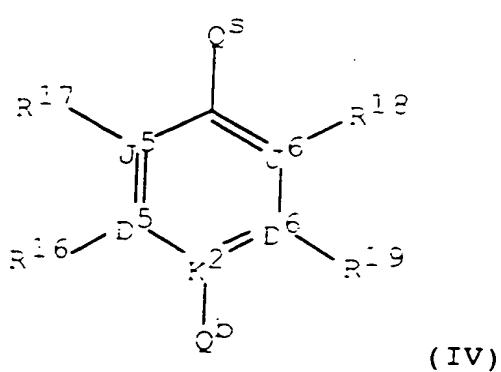
Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is

5 optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} :

10 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

15 R^{10} and R^{12} are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxamido, carboxyalkyl, and cyano;

20 Y^0 is formula (IV):



wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6

5 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, 10 alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is 15 hydrido, and $C(NR^{25})NR^{23}R^{24}$;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and alkyl;

Q^s is CH_2 .

20 29. The compound as recited in Claim 28 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, 25 azetidin-3-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyrananyl, 3-

tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with R³³. ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R⁹ or R¹³. a ring carbon or nitrogen adjacent to the R⁹ position and two atoms from the point of attachment are optionally substituted with R¹⁰, and a ring carbon or nitrogen atom adjacent to the R¹³ position and two atoms from the point of attachment is optionally substituted with R¹²;

R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

R¹⁰ and R¹² are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

R³³ is selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, carboxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, cyano, and Q^b;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), CH₂, CH₃CH, CH₂CH₂, and CH₂CH₂CH₂;

R^1 is selected from the group consisting of hydrido, trifluoromethyl, pentafluoroethyl, fluoro, and chloro;

R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl.

5 wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

Y^0 is selected from the group consisting of:

$1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,

$2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$ pyridine, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene,

15 $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$ pyridine, $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ thiophene,

$3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ furan, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ furan,

$3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ pyrrole, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ pyrrole,

$4-Q^b-2-Q^s-5-R^{19}$ thiazole, and $2-Q^b-5-Q^s-4-R^{17}$ thiazole;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

Q^b is selected from the group consisting of $NR^{20}R^{21}$ and $C(NR^{25})NR^{23}R^{24}$, with the proviso that said Q^b group is bonded directly to a carbon atom;

5 R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, and ethyl;

Q^s is CH_2 .

30. The compound as recited in Claim 29 or a pharmaceutically acceptable salt thereof, wherein:

10 B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-piperidinyl;

A is selected from the group consisting of a single covalent bond.

15 CH_2 , $NHC(O)$, CH_2CH_2 and $CH_2CH_2CH_2$:

R^1 is selected from the group consisting of hydrido, trifluoromethyl, fluoro, and chloro;

20 R^2 is selected from the group consisting of 3-aminophenyl, 2,6-dichlorophenyl, 2-hydroxyphenyl, 5-amino-2-thienyl, and 3-thienyl;

Y^0 is selected from the group consisting of:

1- Q^b -4- Q^s -2- R^{16} -3- R^{17} -5- R^{18} -6- R^{19} benzene,

3- Q^b -5- Q^s -4- R^{16} -2- R^{19} thiophene, and 2- Q^b -5- Q^s -3- R^{16} -4- R^{17} thiophene;

25 R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} , wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

5 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

Q^s is CH_2 .

31. The compound as recited in Claim 30 or a pharmaceutically acceptable salt
10 thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and 1-piperidinyl;

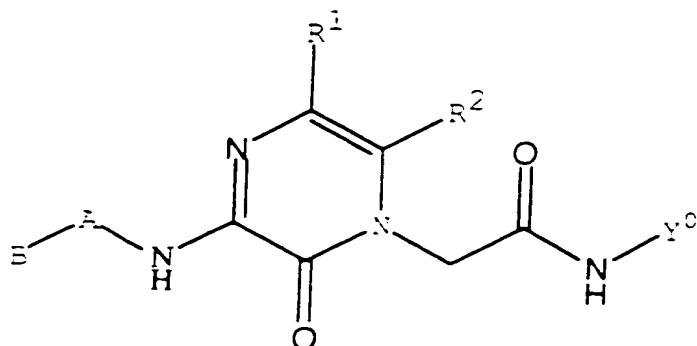
15 A is selected from the group consisting of a single covalent bond, CH_2 , CH_2CH_2 and $CH_2CH_2CH_2$;

R^1 is selected from the group consisting of hydrido and chloro;

R^2 is selected from the group consisting of 3-aminophenyl, 2,6-dichlorophenyl, 2-hydroxyphenyl, phenyl, 5-amino-2-thienyl, and 3-thienyl;

20 Y^0 is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

32. A compound as recited in Claim 25 where said compound is selected from the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

5 R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and R^1 is chloro;

10 R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is hydrido;

15 R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 5-amino-2-thienyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is cyclopropyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

5 R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is cyclohexyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is hydrido;

10 R^2 is 3-aminophenyl, B is oxalan-2-yl, A is CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is phenyl, B is 1-pyrrolidinyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 1-piperidinyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and R^1 is chloro;

15 R^2 is 3-aminophenyl, B is 1,1-dioxothiolan-3-yl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 2-hydroxyphenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-aminophenyl, B is 1-pyrrolidinyl, A is $CH_2CH_2CH_2$, Y^0 is 4-

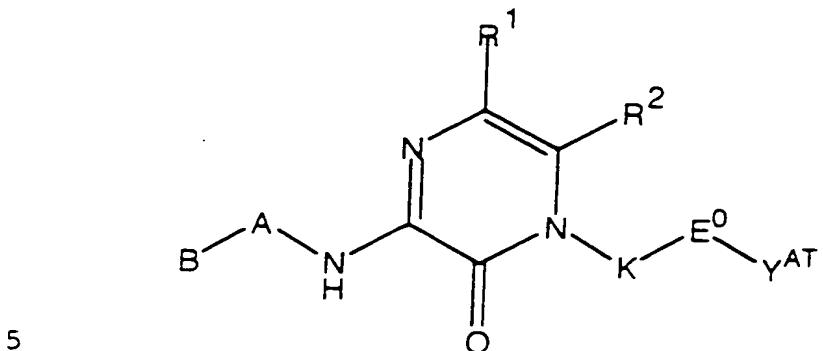
20 amidinobenzyl, and R^1 is chloro;

R^2 is phenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro;

R^2 is 3-thienyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is hydrido;

R^2 is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and R^1 is chloro.

33. The compound having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

B is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is 10 optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is 15 optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is substituted by R^{34} ;

R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the 20 group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyleneedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and Q^b;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C₂-C₈ alkyl, C₃-C₈ alkenylenyl, C₃-C₈ alkenyl, C₃-C₈ alkynyl, and C₂-C₈ haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R³², R³³, R³⁴, R³⁵, and R³⁶;

B is optionally selected from the group consisting of C₃-C₁₂ cycloalkyl and C₄-C₉ saturated heterocyclyl, wherein each ring carbon is optionally substituted with R³³, a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R⁹ or R¹³, a ring carbon or nitrogen adjacent to the R⁹ position and two atoms from the point of attachment is optionally substituted with R¹⁰, a ring carbon or nitrogen adjacent to the R¹³ position and two atoms from the point of attachment is optionally substituted with R¹², a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R¹⁰ position is optionally substituted with R¹¹, a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the R¹² position is optionally substituted with R³³, and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the R¹¹ and R³³ positions is optionally substituted with R³⁴:

R⁹, R¹⁰, R¹¹, R¹², and R¹³ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl,

dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_\pi$ wherein π is an integer selected from 0 through 1, pa is

5 an integer selected from 0 through 3, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$;

R^7 is selected from the group consisting of hydrido, hydroxy and alkyl;

R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

10 R^1 is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

R^2 is Z^0-Q ;

15 Z^0 is selected from the group consisting of covalent single bond and $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2, $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, and $N(R^{41})$, and $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$ wherein e and h are integers independently

20 selected from 0 through 1 and W^{22} is selected from the group consisting of $CR^{41}=CR^{42}$, 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-

tetrahydrofuryl, 2,5-tetrahydrofuryl, and 3,4-tetrahydrofuryl, with the proviso that Z^0 is directly bonded to the pyrazinone ring;

R^{41} and R^{42} are independently selected from the group consisting of hydrido, hydroxy, and amino;

5 Q is selected from the group consisting of hydrido with the proviso that Z^0 is other than a covalent single bond, aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at

10 the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} :

K is CHR^{4a} wherein R^{4a} is selected from the group consisting of
15 hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

E^0 is selected from the group consisting of a covalent single bond,

$C(O)N(H)$, $(H)NC(O)$, $(R^7)NS(O)_2$, and $S(O)_2N(R^7)$;

Y^{AT} is Q^b-Q^s ;

Q^s is $(CR^{37}R^{38})_b$ wherein b is an integer selected from 1 through 4,

20 R^{37} is selected from the group consisting of hydrido, alkyl, and haloalkyl, and R^{38} is selected from the group consisting of hydrido, alkyl, haloalkyl, aroyl, and heteroaroyl with the provisos that there is at least one aroyl or heteroaroyl substituent, that no more than one aroyl or heteroaroyl is bonded to $(CR^{37}R^{38})_b$ at the same time, that said aroyl and said heteroaroyl are

25 optionally substituted at from one through three of the ring carbons with a

substituent selected from the group consisting of R^{16} , R^{17} , R^{18} , and R^{19} .

that said aroyl and said heteroaroyl are bonded to the $CR^{37}R^{38}$ that is directly bonded to E^0 , that is no more than one alkyl or one haloalkyl is bonded to a $CR^{37}R^{38}$ at the same time, and that said alkyl and haloalkyl are bonded to a

5 carbon other than the one bonding the aroyl or heteroaroyl;

R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy,

10 hydroxyalkyl, aminoalkyl, and cyano;

R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

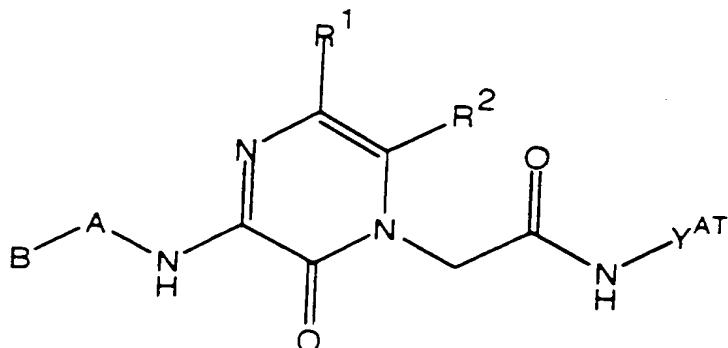
Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the

15 provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of R^{23} and R^{24} is hydroxy, amino, alkylamino, or dialkylamino at the same time;

R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and

20 dialkylamino.

34. The compound as recited in Claim 33 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl,
 5 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{32} , the other carbon adjacent to the carbon at the point of attachment is
 10 optionally substituted by R^{36} , a carbon adjacent to R^{32} and two atoms from the carbon at the point of attachment is optionally substituted by R^{33} , a carbon adjacent to R^{36} and two atoms from the carbon at the point of attachment is optionally substituted by R^{35} , and any carbon adjacent to both R^{33} and R^{35} is
 15 optionally substituted by R^{34} ;
 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q^b;
 20 B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-

butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentyne, 1-methyl-3-pentyne, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptyne, 3-heptyne, 4-heptyne, 5-heptyne, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentyne, 1-ethyl-3-pentyne, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4

10 trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with R^{33} , ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or

20 R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , and a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} ;

R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino,

N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

5 R^{10} and R^{12} are independently selected from the group consisting of

hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-

10 methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

A is selected from the group consisting of single covalent bond, NH,

$N(CH_3)$, CH_2 , CH_3CH , CH_2CH_2 , and $CH_2CH_2CH_2$:

15 R^1 is selected from the group consisting of hydrido, trifluoromethyl, pentafluoroethyl, fluoro, and chloro;

17 R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of

20 attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of

attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

25 Y^{AT} is Q^b-Q^s ;

Q^s is selected from the group consisting of:

$C[R^{37}(\text{benzoyl})](CR^{37}R^{38})_b]$,

$C[R^{37}(2\text{-pyridylcarbonyl})](CR^{37}R^{38})_b]$,

$C[R^{37}(3\text{-pyridylcarbonyl})](CR^{37}R^{38})_b]$.
 $C[R^{37}(4\text{-pyridylcarbonyl})](CR^{37}R^{38})_b]$.
 $C[R^{37}(2\text{-thienylcarbonyl})](CR^{37}R^{38})_b]$.
 $C[R^{37}(3\text{-thienylcarbonyl})](CR^{37}R^{38})_b]$.
5 $C[R^{37}(2\text{-thiazolylcarbonyl})](CR^{37}R^{38})_b]$.
 $C[R^{37}(4\text{-thiazolylcarbonyl})](CR^{37}R^{38})_b]$, and
 $C[R^{37}(5\text{-thiazolylcarbonyl})](CR^{37}R^{38})_b]$, wherein b is an integer selected
 from 1 through 3. R^{37} and R^{38} are independently selected from the group
 consisting of hydrido, alkyl, and haloalkyl, with the provisos that said aroyl
10 and said heteroaroyl are optionally substituted at from one through three of the
 ring carbons with a substituent selected from the group consisting of R^{16} ,
 R^{17} , R^{18} , and R^{19} with the proviso that R^{17} and R^{18} are optionally
 substituted at a carbon selected from other than the meta and para carbons
 relative to the carbonyl of the benzoyl substituent and the heteroaroyl
15 substituent, that said benzoyl and said heteroaroyl are bonded to the carbon
 directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group,
 and that is no more than one alkyl or one haloalkyl is bonded to a $CR^{37}R^{38}$ at
 the same time;
 R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group
20 consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy,
 amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino,
 dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl,
 methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl,
 trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl,
25 hydroxymethyl, carboxy, and cyano;

Q^b is selected from the group consisting of $NR^{20}R^{21}$ and
 $C(NR^{25})NR^{23}R^{24}$, with the proviso that said Q^b group is bonded directly to a carbon atom;

R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the

5 group consisting of hydrido, methyl, and ethyl.

35. The compound as recited in Claim 34 or a pharmaceutically acceptable salt thereof, wherein:

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazoyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;

B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-piperidinyl;

A is selected from the group consisting of single covalent bond, CH_2 ,

CH_3CH , CH_2CH_2 , and $\text{CH}_2\text{CH}_2\text{CH}_2$:

R¹ is selected from the group consisting of hydrido, methyl, ethyl, propyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, 5 and bromo;

R² is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 10 benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y^{AT} is Q^b-Q^s:

Q^s is selected from the group consisting of:

[CH(benzoyl)](CH₂)_b, [CH(2-pyridylcarbonyl)](CH₂)_b,
[CH(3-pyridylcarbonyl)](CH₂)_b, [CH(4-pyridylcarbonyl)](CH₂)_b,
25 [CH(2-thienylcarbonyl)](CH₂)_b, [CH(3-thienylcarbonyl)](CH₂)_b,
[CH(2-thiazolylcarbonyl)](CH₂)_b, [CH(4-thiazolylcarbonyl)](CH₂)_b,
and [CH(5-thiazolylcarbonyl)](CH₂)_b. wherein b is an integer selected from 1 through 3, with the provisos that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons with a substituent

selected from the group consisting of R^{16} , R^{17} , R^{18} , and R^{19} with the proviso that R^{17} and R^{18} are optionally substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl substituent and the heteroaroyl substituent, and that said benzoyl and said heteroaroyl substituent are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group;

5 R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

10 R^{17} and R^{18} are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano; Q^b is $C(NR^{25})NR^{23}R^{24}$;

15 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl.

36. The compound as recited in Claim 35 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, phenyl, 2-imidazoyl, 3-pyridyl, 4-pyridyl, and 3-trifluoromethyl-2-pyridyl;

20 B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and 1-piperidinyl;

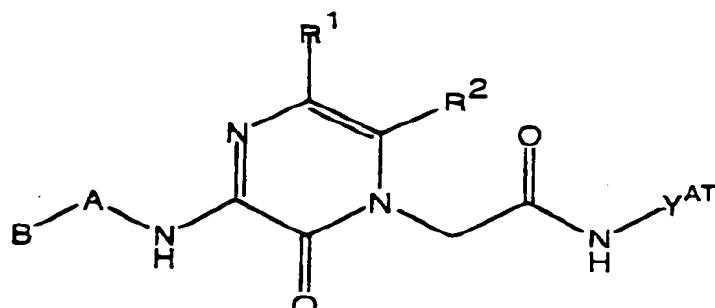
5 A is selected from the group consisting of a single covalent bond, CH₂, CH₂CH₂ and CH₂CH₂CH₂;

R¹ is selected from the group consisting of hydrido and chloro;

R² is selected from the group consisting of 3-aminophenyl, benzyl, 2,6-dichlorophenyl, 5-amino-2-thienyl, 5-amino-2-fluorophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-carboxyphenyl, 3-cyanophenyl, 3-chlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methoxycarbonylphenyl, 3-dimethylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-pyridyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

Y^{AT} is selected from the group consisting of 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(5-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-amino-2-thiazolyl)-2-pentyl, and 5-guanidino-1-oxo-1-phenyl-2-pentyl.

20 37. A compound as recited in Claim 33 where said compound is selected from the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

25 R² is 3-aminophenyl, B is phenyl, A is CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and R¹ is chloro;

R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and R^1 is hydrido;

R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and R^1 is hydrido;

5 R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and R^1 is chloro;

R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and R^1 is chloro;

R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and R^1 is hydrido.

38. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 8, 16, 24, 32, and 37 and a pharmaceutically acceptable carrier.

15 39. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 1 through 7, Claims 9 through 15, Claims 17 through 23, Claims 25 through 31, and Claims 33 through 36 and a pharmaceutically acceptable carrier.

20 40. A method for inhibiting thrombotic conditions in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 38 and 39.

25 41. A method for inhibiting formation of blood platelet aggregates in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 38 and 39.

30 42. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 38 and 39.

43. A method for treating or preventing venous thromboembolism and pulmonary embolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.

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44. A method for treating or preventing deep vein thrombosis in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.

10 45. A method for treating or preventing cardiogenic thromboembolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.

15 46. A method for treating or preventing thromboembolic stroke in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.

20 47. A method for treating or preventing thrombosis associated with cancer and cancer chemotherapy in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.

25 48. A method for treating or preventing unstable angina in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.

30 49. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a compound of any one of Claims 1 through 37 with a therapeutically effective amount of fibrinogen receptor antagonist.

35 50. The use of a compound of any one of Claims 1 through 37, or a pharmaceutically acceptable salt thereof, in the manufacture of medicament for inhibiting thrombus formation, treating thrombus formation, or preventing thrombus formation in a mammal.